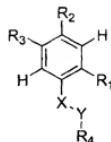


What is claimed is:

1. A compound of the formula



5

or a pharmaceutically acceptable salt thereof,

wherein

X = NH

Y = CO, CS, -C(=N-CN) or

10 X and Y together form an alkene, or C₃-C₅ cycloalkyl;

R₁ is -HET¹, -CO-HET¹, or -NH-S(O)₂-Q¹, the HET¹ being an optionally substituted HET¹;

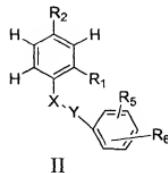
Q¹ is selected from the group consisting of H, optionally substituted alkyl, or optionally substituted aryl;

15 R₂ is an electron withdrawing group; and

R₄ is an optionally substituted aryl provided that the aryl is not simultaneously substituted with a sulfonamide and a urea or thiourea, and further provided that the aryl is not solely substituted at the ortho-position relative to Y, or R₄ is an optionally substituted HET².

20

2. The compound of claim 1 having the formula II



or a pharmaceutically acceptable salt thereof,

25 wherein

X = NH

Y = CO, CS, -C(=N-CN) or

X and Y together form an alkene, or C₃-C₅ cycloalkyl;

R₁ is -HET¹, -CO-HET¹, or -NH-S(O)₂-Q¹, the HET¹ being an optionally substituted HET¹;

5 Q₁ is selected from the group consisting of H, optionally substituted alkyl, or optionally substituted aryl;

R₂ is an electron withdrawing group;

R₅ is -(CH₂)_k-S(O)₂-R₇, -NH-SO₂-R₇, -(CH₂)_k-W-R₈, -NH-(CZ₁)-R₈, -NH-(CZ₁)-NR₈, substituted aryl, substituted C₁₋₄alkyl, or substituted C₁₋₄alkenyl;

10 R₆ is selected from H, halo, HET², -CN, NH₂, NO₂, alkyl, substituted alkyl, alkoxy, substituted alkoxy, -NH-CO-HET², and -NH-CO-aryl;

R₇ is selected from alkyl, substituted alkyl, aryl, substituted aryl, -N(Q₁₅)₂, HET², and substituted HET;

15 R₈ is H, alkyl, substituted alkyl, aryl, substituted aryl, HET², substituted HET², cycloalkyl, substituted cycloalkyl;

Each Q₁₅ is independently H, alkyl, cycloalkyl, heterocycloalkyl, heteroaryl, phenyl, or naphthyl, each optionally substituted with 1-4 substituents independently selected from -F, -Cl, -Br, -I, -OQ₁₆, -SQ₁₆, -S(O)Q₁₆, -S(O)Q₁₆, -OS(O)Q₁₆, -C(=NQ₁₆)Q₁₆, -S(O)₂-N=S(O)(Q₁₆)₂, -S(O)₂-N=S(Q₁₆)₂, -SC(O)Q₁₆, -NQ₁₆Q₁₆, -

20 C(O)Q₁₆, -C(S)Q₁₆, -C(O)OQ₁₆, -OC(O)Q₁₆, -C(O)NQ₁₆Q₁₆, -C(S)NQ₁₆Q₁₆, -C(O)C(Q₁₆)₂OC(O)Q₁₆, -CN, -NQ₁₆C(O)Q₁₆, -NQ₁₆C(S)Q₁₆, -NQ₁₆C(O)NQ₁₆Q₁₆, -NQ₁₆C(S)NQ₁₆Q₁₆, -S(O)₂NQ₁₆Q₁₆, -NQ₁₆S(O)Q₁₆, -NQ₁₆S(O)Q₁₆, -NQ₁₆Q₁₆, -NO₂, and -SNQ₁₆Q₁₆. The alkyl, cycloalkyl, and cycloalkenyl being furher optionally substituted with =O or =S;

25 Each Q₁₆ is independently selected from -H, alkyl, and cycloalkyl, the alkyl and cycloalkyl optionally including 1-3 halos;

W is O, S, -(CZ₂)-, or -(CHZ₃)-;

Z₁ is O;

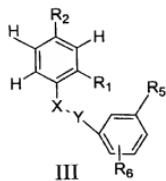
Z₂ is =O, =S, =N-OH, =N-O-alkyl, or =N-O-substituted alkyl;

30 Z₃ is -OH, -N=NH, -N=N-alkyl, -NH-alkyl, or -NH-substituted alkyl;

i is 0, 1, or 2; and

k is 0, 1, or 2.

3. The compound of claim 1 having the formula III



or a pharmaceutically acceptable salt thereof,

5 wherein

X = NH

Y = CO, CS, -C(=N-CN) or

X and Y together form an alkene, or C₃-C₅ cycloalkyl;

10 R₁ is -HET¹, -CO-HET¹, or -NH-S(O)₂-Q¹, the HET¹ being an optionally substituted HET¹;

Q₁ is selected from the group consisting of H, optionally substituted alkyl, or optionally substituted aryl;

R₂ is an electron withdrawing group;

R₅ is -(CH₂)_k-S(O)-R₇, -NH-SO₂-R₇, -(CH₂)_k-W-R₈, -NH-(CZ₁)-R₈, -NH-

15 (CZ₁)-NR₈, substituted aryl, substituted C₁-alkyl, or substituted C₁-alkenyl;

R₆ is selected from H, halo, HET², -CN, NH₂, NO₂, alkyl, substituted alkyl, alkoxy, substituted alkoxy, -NH-CO-HET², and -NH-CO-aryl;

18 R₇ is selected from alkyl, substituted alkyl, aryl, substituted aryl, -N(Q₁₅)₂, HET², and substituted HET²;

20 R₈ is H, alkyl, substituted alkyl, aryl, substituted aryl, HET², substituted HET², cycloalkyl, substituted cycloalkyl;

Each Q₁₅ is independently H, alkyl, cycloalkyl, heterocycloalkyl, heteroaryl, phenyl, or naphthyl, each optionally substituted with 1-4 substituents independently selected from -F, -Cl, -Br, -I, -OQ₁₆, -SQ₁₆, -S(O)₂Q₁₆, -S(O)Q₁₆, -OS(O)₂Q₁₆, -

25 C(=NQ₁₆)Q₁₆, -S(O)₂-N=S(O)(Q₁₆)₂, -S(O)₂-N=S(Q₁₆)₂, -SC(O)Q₁₆, -NQ₁₆Q₁₆, -C(=O)Q₁₆, -C(S)Q₁₆, -C(O)Q₁₆, -OC(O)Q₁₆, -C(O)NQ₁₆Q₁₆, -C(S)NQ₁₆Q₁₆, -C(O)C(Q₁₆)₂OC(O)Q₁₆, -CN, -NQ₁₆C(O)Q₁₆, -NQ₁₆C(S)Q₁₆, -NQ₁₆C(O)NQ₁₆Q₁₆, -NQ₁₆C(S)NQ₁₆Q₁₆, -S(O)₂NQ₁₆Q₁₆, -NQ₁₆S(O)₂Q₁₆, -NQ₁₆S(O)Q₁₆, -NQ₁₆SQ₁₆, -

NO_2 , and $-\text{SNQ}_{16}\text{Q}_{16}$. The alkyl, cycloalkyl, and cycloalkenyl being further optionally substituted with $=\text{O}$ or $=\text{S}$;

Each Q_{16} is independently selected from -H, alkyl, and cycloalkyl. The alkyl and cycloalkyl optionally including 1-3 halos;

5 W is O, S, $-(\text{CZ}_2)$, or $-(\text{CHZ}_3)$;

Z_1 is O;

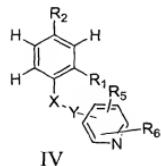
Z_2 is $=\text{O}$, $=\text{S}$, $=\text{N-OH}$, $=\text{N-O-alkyl}$, or $=\text{N-O-substituted alkyl}$;

Z_3 is $-\text{OH}$, $-\text{N=NH}$, $-\text{N=N-alkyl}$, $-\text{NH-alkyl}$, or $-\text{NH-substituted alkyl}$;

i is 0, 1, or 2; and

10 k is 0, 1, or 2.

4. The compound of claim 1 having the formula IV



15 or a pharmaceutically acceptable salt thereof,

wherein

X = NH

Y = CO, CS, $-\text{C}(\text{=N-CN})$ or

X and Y together form an alkene, or $\text{C}_3\text{-C}_5$ cycloalkyl;

20 R_1 is $-\text{HET}^1$, $-\text{CO-HET}^1$, or $-\text{NH-S(O)}_2\text{-Q}^1$, the HET¹ being an optionally substituted HET¹;

Q_1 is selected from the group consisting of H, optionally substituted alkyl, or optionally substituted aryl;

R_2 is an electron withdrawing group;

25 R_5 is $-(\text{CH}_2)_k\text{-S(O)}_j\text{-R}_7$, $-\text{NH-SO}_2\text{-R}_7$, $-(\text{CH}_2)_k\text{-W-R}_8$, $-\text{NH-(CZ}_1\text{-R}_8$, $-\text{NH-(CZ}_1\text{-NR}_8$, substituted aryl, substituted C_{1-4} alkyl, or substituted C_{1-4} alkenyl;

R_6 is selected from H, halo, HET², $-\text{CN}$, NH_2 , NO_2 , alkyl, substituted alkyl, alkoxy, substituted alkoxy, $-\text{NH-CO-HET}^2$, and $-\text{NH-CO-aryl}$;

R₇ is selected from alkyl, substituted alkyl, aryl, substituted aryl, -N(Q₁₅)₂, HET², and substituted HET²;

R₈ is H, alkyl, substituted alkyl, aryl, substituted aryl, HET², substituted HET², cycloalkyl, substituted cycloalkyl;

5 Each Q₁₅ is independently H, alkyl, cycloalkyl, heterocycloalkyl, heteroaryl, phenyl, or naphthyl, each optionally substituted with 1-4 substituents independently selected from -F, -Cl, -Br, -I, -OQ₁₆, -SQ₁₆, -S(O)₂Q₁₆, -S(O)Q₁₆, -OS(O)₂Q₁₆, -C(=NQ₁₆)Q₁₆, -S(O)₂-N=S(O)(Q₁₆)₂, -S(O)₂-N=S(Q₁₆)₂, -SC(O)Q₁₆, -NQ₁₆Q₁₆, -C(O)Q₁₆, -C(S)Q₁₆, -C(O)OQ₁₆, -OC(O)Q₁₆, -C(O)NQ₁₆Q₁₆, -C(S)NQ₁₆Q₁₆, -

10 C(O)C(Q₁₆)₂OCC(O)Q₁₆, -CN, -NQ₁₆C(O)Q₁₆, -NQ₁₆C(S)Q₁₆, -NQ₁₆C(O)NQ₁₆Q₁₆, -NQ₁₆C(S)NQ₁₆Q₁₆, -S(O)₂NQ₁₆Q₁₆, -NQ₁₆S(O)₂Q₁₆, -NQ₁₆S(O)Q₁₆, -NQ₁₆SOQ₁₆, -NO₂, and -SNQ₁₆Q₁₆. The alkyl, cycloalkyl, and cycloalkenyl being further optionally substituted with =O or =S;

Each Q₁₆ is independently selected from -H, alkyl, and cycloalkyl. The alkyl and cycloalkyl optionally including 1-3 halos;

15 W is O, S, -(CZ₂)-, or -(CHZ₃)-;

Z₁ is O;

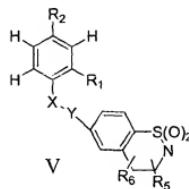
Z₂ is =O, =S, =N-OH, =N-O-alkyl, or =N-O-substituted alkyl;

Z₃ is -OH, -N=NH, -N=N-alkyl, -NH-alkyl, or -NH-substituted alkyl;

20 i is 0, 1, or 2; and

k is 0, 1, or 2.

5. The compound of claim 1 having the formula V



25 or a pharmaceutically acceptable salt thereof,

wherein

X = NH

Y = CO, CS, -C(=N-CN) or

X and Y together form an alkene, or C₃-C₅ cycloalkyl;

R₁ is -HET¹, -CO-HET¹, or -NH-S(O)₂-Q¹, the HET¹ being an optionally substituted HET¹;

5 Q₁ is selected from the group consisting of H, optionally substituted alkyl, or optionally substituted aryl;

R₂ is an electron withdrawing group;

R₅ is -(CH₂)_k-S(O)₂-R₇, -NH-SO₂-R₇, -(CH₂)_k-W-R₈, -NH-(CZ₁)-R₈, -NH-(CZ₁)-NR₈, substituted aryl, substituted C₁-alkyl, or substituted C₁-alkenyl;

10 R₆ is selected from H, halo, HET², -CN, NH₂, NO₂, alkyl, substituted alkyl, alkoxy, substituted alkoxy, -NH-CO-HET², and -NH-CO-aryl;

R₇ is selected from alkyl, substituted alkyl, aryl, substituted aryl, -N(Q₁₅)₂, HET², and substituted HET²;

R₈ is H, alkyl, substituted alkyl, aryl, substituted aryl, HET², substituted HET², 15 cycloalkyl, substituted cycloalkyl;

Each Q₁₅ is independently H, alkyl, cycloalkyl, heterocycloalkyl, heteroaryl, phenyl, or naphthyl, each optionally substituted with 1-4 substituents independently selected from -F, -Cl, -Br, -I, -OQ₁₆, -SQ₁₆, -S(O)Q₁₆, -S(O)Q₁₆, -OS(O)Q₁₆, -C(=NQ₁₆)Q₁₆, -S(O)₂-N=S(O)(Q₁₆)₂, -S(O)₂-N=S(Q₁₆)₂, -SC(O)Q₁₆, -NQ₁₆Q₁₆, -

20 C(O)Q₁₆, -C(S)Q₁₆, -C(O)OQ₁₆, -OC(O)Q₁₆, -C(O)NQ₁₆Q₁₆, -C(S)NQ₁₆Q₁₆, -C(O)C(Q₁₆)₂OC(O)Q₁₆, -CN, -NQ₁₆C(O)Q₁₆, -NQ₁₆C(S)Q₁₆, -NQ₁₆C(O)NQ₁₆Q₁₆, -NQ₁₆C(S)NQ₁₆Q₁₆, -S(O)₂NQ₁₆Q₁₆, -NQ₁₆S(O)Q₁₆, -NQ₁₆S(O)Q₁₆, -NQ₁₆Q₁₆SO₂, -NO₂, and -SNQ₁₆Q₁₆. The alkyl, cycloalkyl, and cycloalkenyl being further optionally substituted with =O or =S;

25 Each Q₁₆ is independently selected from -H, alkyl, and cycloalkyl. The alkyl and cycloalkyl optionally including 1-3 halos;

W is O, S, -(CZ₂)-, or -(CHZ₃)-;

Z₁ is O;

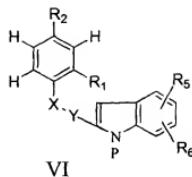
Z₂ is =O, =S, =N-OH, =N-O-alkyl, or =N-O-substituted alkyl;

30 Z₃ is -OH, -N=NH, -N=N-alkyl, -NH-alkyl, or -NH-substituted alkyl;

i is 0, 1, or 2; and

k is 0, 1, or 2.

6. The compound of claim 1 having the formula VI



or a pharmaceutically acceptable salt thereof,

5 wherein

X = NH

Y = CO, CS, -C(=N-CN) or

X and Y together form an alkene, or C₃-C₅ cycloalkyl;

10 R₁ is -HET¹, -CO-HET¹, or -NH-S(O)₂-Q¹, the HET¹ being an optionally substituted HET¹;

Q₁ is selected from the group consisting of H, optionally substituted alkyl, or optionally substituted aryl;

P is Q₁₅,

R₂ is an electron withdrawing group;

15 R₅ is -(CH₂)_k-S(O)_l-R₇, -NH-SO₂-R₇, -(CH₂)_k-W-R₈, -NH-(CZ₁)-R₈, -NH-(CZ₁)-NR₈, substituted aryl, substituted C₁-alkyl, or substituted C₁-alkenyl;

R₆ is selected from H, halo, HET², -CN, NH₂, NO₂, alkyl, substituted alkyl, alkoxy, substituted alkoxy, -NH-CO-HET², and -NH-CO-aryl;

20 R₇ is selected from alkyl, substituted alkyl, aryl, substituted aryl, -N(Q₁₅)₂, HET², and substituted HET²;

R₈ is H, alkyl, substituted alkyl, aryl, substituted aryl, HET², substituted HET², cycloalkyl, substituted cycloalkyl;

Each Q₁₅ is independently H, alkyl, cycloalkyl, heterocycloalkyl, heteroaryl, phenyl, or naphthyl, each optionally substituted with 1-4 substituents independently selected from -F, -Cl, -Br, -I, -OQ₁₆, -SQ₁₆, -S(O)Q₁₆, -S(O)₂Q₁₆, -S(O)Q₁₆, -OS(O)Q₁₆, -C(=NQ₁₆)Q₁₆, -S(O)₂-N=S(O)(Q₁₆)₂, -S(O)₂-N=S(Q₁₆)₂, -SC(O)Q₁₆, -NQ₁₆Q₁₆, -C(O)Q₁₆, -C(S)Q₁₆, -C(O)OQ₁₆, -OC(O)Q₁₆, -C(O)NQ₁₆Q₁₆, -C(S)NQ₁₆Q₁₆, -C(O)C(Q₁₆)₂OC(O)Q₁₆, -CN, -NQ₁₆C(O)Q₁₆, -NQ₁₆C(S)Q₁₆, -NQ₁₆C(O)NQ₁₆Q₁₆, -NQ₁₆C(S)NQ₁₆Q₁₆, -S(O)₂NQ₁₆Q₁₆, -NQ₁₆S(O)₂Q₁₆, -NQ₁₆S(O)Q₁₆, -NQ₁₆SQ₁₆, -

NO_2 , and $-\text{SNQ}_{16}\text{Q}_{16}$. The alkyl, cycloalkyl, and cycloalkenyl being further optionally substituted with $=\text{O}$ or $=\text{S}$;

Each Q_{16} is independently selected from -H, alkyl, and cycloalkyl. The alkyl and cycloalkyl optionally including 1-3 halos;

5 W is O, S, $-(\text{CZ}_2)$, or $-(\text{CHZ}_3)$;

Z_1 is O;

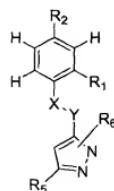
Z_2 is =O, =S, =N-OH, =N-O-alkyl, or =N-O-substituted alkyl;

Z_3 is -OH, -N=NH, -N=N-alkyl, -NH-alkyl, or -NH-substituted alkyl;

i is 0, 1, or 2; and

10 k is 0, 1, or 2.

7. The compound of claim 1 having the formula VII



VII

15 or a pharmaceutically acceptable salt thereof;

wherein

X = NH

Y = CO, CS, $-\text{C}(=\text{N}-\text{CN})$ or

20 X and Y together form an alkene, or $\text{C}_{3\text{-}5}$ cycloalkyl;

R_1 is $-\text{HET}^1$, $-\text{CO-HET}^1$, or $-\text{NH-S(O)}_2\text{Q}^1$, the HET¹ being an optionally substituted HET¹;

Q_1 is selected from the group consisting of H, optionally substituted alkyl, or optionally substituted aryl;

25 R_2 is an electron withdrawing group;

R_5 is $-(\text{CH}_2)_{k\text{-}}\text{S(O)}_j\text{-R}_7$, $-\text{NH-SO}_2\text{-R}_7$, $-(\text{CH}_2)_{k\text{-}}\text{W-R}_8$, $-\text{NH-(CZ}_1)\text{-R}_8$, $-\text{NH-(CZ}_1)\text{-NR}_8$, substituted aryl, substituted $\text{C}_{1\text{-}4}$ alkyl, or substituted $\text{C}_{1\text{-}4}$ alkenyl;

R₆ is selected from H, halo, HET², -CN, NH₂, NO₂, alkyl, substituted alkyl, alkoxy, substituted alkoxy, -NH-CO-HET², and -NH-CO-aryl;

R₇ is selected from alkyl, substituted alkyl, aryl, substituted aryl, -N(Q₁₅)₂, HET², and substituted HET²;

5 R₈ is H, alkyl, substituted alkyl, aryl, substituted aryl, HET², substituted HET², cycloalkyl, substituted cycloalkyl;

Each Q₁₅ is independently H, alkyl, cycloalkyl, heterocycloalkyl, heteroaryl, phenyl, or naphthyl, each optionally substituted with 1-4 substituents independently selected from -F, -Cl, -Br, -I, -OQ₁₆, -SQ₁₆, -S(O)₂Q₁₆, -S(O)Q₁₆, -OS(O)₂Q₁₆, -

10 Cl(NQ₁₆)Q₁₆, -S(O)₂-N=S(O)(Q₁₆)₂, -S(O)₂-N=S(Q₁₆)₂, -SC(O)Q₁₆, -NQ₁₆Q₁₆, -Cl(O)Q₁₆, -C(S)Q₁₆, -C(O)OQ₁₆, -OC(O)Q₁₆, -C(O)NQ₁₆Q₁₆, -C(S)NQ₁₆Q₁₆, -C(O)C(Q₁₆)₂OC(O)Q₁₆, -CN, -NQ₁₆C(O)Q₁₆, -NQ₁₆C(S)Q₁₆, -NQ₁₆C(O)NQ₁₆Q₁₆, -NQ₁₆C(S)NQ₁₆Q₁₆, -S(O)₂NQ₁₆Q₁₆, -NQ₁₆S(O)₂Q₁₆, -NQ₁₆S(O)Q₁₆, -NQ₁₆SQ₁₆, -NO₂, and -SNQ₁₆Q₁₆. The alkyl, cycloalkyl, and cycloalkenyl being further optionally substituted with =O or =S;

15 Each Q₁₆ is independently selected from -H, alkyl, and cycloalkyl. The alkyl and cycloalkyl optionally including 1-3 halos;

W is O, S, -(CZ₂)_i, or -(CHZ₃)_j;

Z₁ is O;

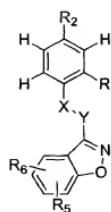
20 Z₂ is =O, =S, =N-OH, =N-O-alkyl, or =N-O-substituted alkyl;

Z₃ is -OH, -N=NH, -N=N-alkyl, -NH-alkyl, or -NH-substituted alkyl;

i is 0, 1, or 2; and

k is 0, 1, or 2.

25 8. The compound of claim 1 having the formula VIII



VIII

or a pharmaceutically acceptable salt thereof,

wherein

$X = NH$

$Y = CO, CS, -C(=N-CN)$ or

5 X and Y together form an alkene, or C_3-C_5 cycloalkyl;

R_1 is $-HET^1, -CO-HET^1$, or $-NH-S(O)_2-Q^1$, the HET^1 being an optionally substituted HET^1 ;

10 Q_1 is selected from the group consisting of H, optionally substituted alkyl, or optionally substituted aryl;

10 R_2 is an electron withdrawing group;

15 R_5 is H, halo, NO_2 , $CN, -(CH_2)_k-S(O)_i-R_7, -NH-SO_2-R_7, -(CH_2)_k-W-R_8 -NH-(CZ_1)-R_8, -(CZ_1)-NH-R_8, -NH-(CZ_1)-NR_8R_8, -(CH_2)_k-NR_8R_8$, substituted aryl, substituted HET , substituted C_{1-4} alkyl, or substituted C_{1-4} alkenyl;

R_6 is selected from H, halo, aryl, substituted aryl, HET , substituted HET , $-CN$,

15 NH_2, NO_2 , alkyl, substituted alkyl, alkoxy, substituted alkoxy, $-(CH_2)_k-S(O)_i-R_7, -NH-SO_2-R_7, -(CH_2)_k-W-R_8, -NH-(CZ_1)-R_8, -(CZ_1)-NH-R_8, -NH-(CZ_1)-NR_8R_8$, or substituted C_{1-4} alkenyl;

20 R_7 is selected from alkyl, substituted alkyl, aryl, substituted aryl, $-N(Q_{15})_2$, HET , and substituted HET ;

20 Each R_8 is independently H, alkyl, substituted alkyl, $-OQ_{16}$, aryl, substituted aryl, HET , substituted HET , cycloalkyl, and substituted cycloalkyl, or two R_8 substituents when attached to the same atom may be taken together to form a 5-8 membered ring, wherein the ring includes the atom to which the two R_8 substituents attach;

25 Each Q_{15} is independently H, alkyl, cycloalkyl, heterocycloalkyl, heteroaryl, phenyl, or naphthyl, each optionally substituted with 1-4 substituents independently selected from $-F, -Cl, -Br, -I, -OQ_{16}, -SQ_{16}, -S(O)_2Q_{16}, -S(O)Q_{16}, -OS(O)_2Q_{16}, -C(=NQ_{16})Q_{16}, -S(O)_2-N=S(O)(Q_{16})_2, -S(O)_2-N=S(Q_{16})_2, -SC(O)Q_{16}, -NQ_{16}Q_{16}, -C(O)Q_{16}, -C(S)Q_{16}, -C(O)OQ_{16}, -OC(O)Q_{16}, -C(O)NQ_{16}Q_{16}, -C(S)NQ_{16}Q_{16},$

30 $-(O)C(Q_{16})_2OC(O)Q_{16}, -CN, -NQ_{16}C(O)Q_{16}, -NQ_{16}C(S)Q_{16}, -NQ_{16}C(O)NQ_{16}Q_{16}, -NQ_{16}C(S)NQ_{16}Q_{16}, -S(O)_2NQ_{16}Q_{16}, -NQ_{16}S(O)_2Q_{16}, -NQ_{16}S(O)Q_{16}, -NQ_{16}SQ_{16}, -NO_2$, and $-SNQ_{16}Q_{16}$. The alkyl, cycloalkyl, and cycloalkenyl being further optionally substituted with $=O$ or $=S$;

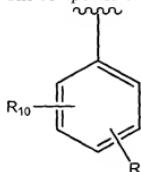
Each Q₁₆ is independently selected from -H, alkyl, cycloalkyl, phenyl, benzyl, -CH₂-substituted phenyl, and Het in which each of alkyl, cycloalkyl, phenyl, and Het optionally include 1-3 halos;

- W is O, S, -(CZ₂)-, or -(CHZ₁)-, provided that W is not S or O when R₅ or R₆
 5 are -(CH₂)_k-W-OR₁₆;
 Z₁ is =O;
 Z₂ is =O, =S, =N-OH, =N-O-alkyl, or =N-O-substituted alkyl;
 Z₃ is -OH, -N=N-alkyl, -NH-alkyl, or -NH-substituted alkyl;
 i is 0, 1, or 2; and
 10 k is 0, 1, or 2.

9. The compound of claim 8, wherein at least one of R₅ and R₆ is a substituted phenyl or substituted HET.

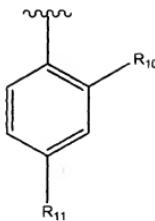
- 15 10. The compound of claim 9, wherein at least one of R₅ and R₆ is pyridine, pyrimidine, pyridazine, or pyrazine, each of which is optionally substituted with the substituents described for substituted HET.

11. The compound of claim 9, wherein the substituted phenyl has the formula



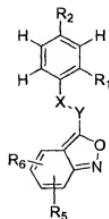
- 20 R₁₀, R₁₁, wherein each R₁₀ and R₁₁ is selected from -F, -Cl, -Br, -I, -OQ₁₆, -Q₁₆, -SQ₁₆, -S(O)₂Q₁₆, -S(O)Q₁₆, -OS(O)₂Q₁₆, -SC(O)Q₁₆, -NQ₁₆Q₁₆, -C(O)Q₁₆, -C(S)Q₁₆, -C(O)OQ₁₆, -OC(O)Q₁₆, -C(O)NQ₁₆Q₁₆, -C(S)NQ₁₆Q₁₆, -(O)C(Q₁₆)₂OCC(O)Q₁₆, -CN, -NQ₁₆C(O)Q₁₆, -NQ₁₆C(S)Q₁₆, -NQ₁₆C(O)NQ₁₆Q₁₆, -NQ₁₆C(S)NQ₁₆Q₁₆, -S(O)₂NQ₁₆Q₁₆, -NQ₁₆S(O)₂Q₁₆, -NQ₁₆S(O)Q₁₆, -NQ₁₆SQ₁₆, -NO₂, and -SNQ₁₆Q₁₆.

25 12. The compound of claim 8, wherein the substituted phenyl has the formula



- 13. The compound of claim 8, wherein one of R₅ or R₆ is -NH-(CZ₁)-NR₈R₈.
- 5 14. The compound of claim 13, wherein -NR₈R₈ forms a 5-8 membered ring.
- 15. The compound of claim 14, wherein the ring is morpholino, pyrrolidinyl, or piperdinyl.
- 10 16. The compound of 13, wherein at least one of the R₈ substituents is benzyl or -CH₂-substituted phenyl.
- 17. The compound of claim 8, wherein one of R₅ or R₆ is -(CH₂)_k-S(O)-R₇ or -NH-SO₂-R₇.
- 15 18. The compound of claim 17, wherein R₇ is het, substituted het, alkyl, or substituted alkyl.
- 19. The compound of claim 18, wherein het is indolinyl, pyrrolindinyl, or indolyl, or pyrrolyl.
- 20. The compound of claim 18, wherein substituted het includes a het substituent substituted with 1-3 of halo or CN.
- 25 21. The compound of claim 18, wherein substituted alkyl is an alkyl substituted with 1-3 of OH, NH₂, NHQ₁₆, -NR₈R₈.

22. The compound of claim 1 having the formula XXX



XXX

5 or a pharmaceutically acceptable salt thereof,
wherein

X = NH

Y = CO, CS, -C(=N-CN) or

X and Y together form an alkene, or C₃-C₅ cycloalkyl;

10 R₁ is -HET¹, -CO-HET¹, or -NH-S(O)₂-Q¹, the HET¹ being an optionally substituted HET¹;

Q¹ is selected from the group consisting of H, optionally substituted alkyl, or
optionally substituted aryl;

R₂ is an electron withdrawing group;

15 R₅ is H, halo, NO₂, CN, -(CH₂)_k-S(O)-R₇, -NH-SO₂-R₇, -(CH₂)_k-W-R₈ -NH-(CZ₁)-R₈, -(CZ₁)-NH-R₈, -NH-(CZ₁)-NR₈R₈, -(CH₂)_k-NR₈R₈, substituted aryl,
substituted HET, substituted C₁-alkyl, or substituted C₁-alkenyl;

R₆ is selected from H, halo, aryl, substituted aryl, HET, substituted HET, -CN,
NH₂, NO₂, alkyl, substituted alkyl, alkoxy, substituted alkoxy, -(CH₂)_k-S(O)-R₇, -
20 NH-SO₂-R₇, -(CH₂)_k-W-R₈, -NH-(CZ₁)-R₈, -(CZ₁)-NH-R₈, -NH-(CZ₁)-NR₈R₈, or
substituted C₁-alkenyl;

R₇ is selected from alkyl, substituted alkyl, aryl, substituted aryl, -N(Q₁₅)₂,
HET, and substituted HET;

Each R₈ is independently H, alkyl, substituted alkyl, -OQ₁₆, aryl, substituted
25 aryl, HET, substituted HET, cycloalkyl, and substituted cycloalkyl, or two R₈
substituents when attached to the same atom may be taken together to form a 5-8

membered ring, wherein the ring includes the atom to which the two R₈ substituents attach;

- Each Q₁₅ is independently H, alkyl, cycloalkyl, heterocycloalkyl, heteroaryl, phenyl, or naphthyl, each optionally substituted with 1-4 substituents independently selected from -F, -Cl, -Br, -I, -OQ₁₆, -SQ₁₆, -S(O)Q₁₆, -S(O)Q₁₆, -OS(O)Q₁₆, -C(=NQ₁₆)Q₁₆, -S(O)₂N=S(O)(Q₁₆)₂, -S(O)₂N=S(Q₁₆)₂, -SC(O)Q₁₆, -NQ₁₆Q₁₆, -C(O)Q₁₆, -C(S)Q₁₆, -C(O)OQ₁₆, -OC(O)Q₁₆, -C(O)NQ₁₆Q₁₆, -C(S)NQ₁₆Q₁₆, -O(C(Q₁₆)₂O)C(O)Q₁₆, -CN, -NQ₁₆C(O)Q₁₆, -NQ₁₆C(S)Q₁₆, -NQ₁₆C(O)NQ₁₆Q₁₆, -NQ₁₆C(S)NQ₁₆Q₁₆, -S(O)₂NQ₁₆Q₁₆, -NQ₁₆S(O)Q₁₆, -NQ₁₆SQ₁₆, -NO₂, and -SNQ₁₆Q₁₆. The alkyl, cycloalkyl, and cycloalkenyl being further optionally substituted with =O or =S;
- 10

Each Q₁₆ is independently selected from -H, alkyl, cycloalkyl, phenyl, benzyl, -CH₂-substituted phenyl, and Het in which each of alkyl, cycloalkyl, phenyl, and Het optionally include 1-3 halos;

- 15 W is O, S, -(CZ₂)-, or -(CHZ₃)-, provided that W is not S or O when R₅ or R₆ are -(CH₂)_k-W-OR₁₆;

Z₁ is =O;

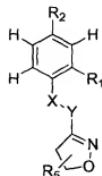
Z₂ is =O, =S, =N-OH, =N-O-alkyl, or =N-O-substituted alkyl;

Z₃ is -OH, -N=N-alkyl, -NH-alkyl, or -NH-substituted alkyl;

- 20 i is 0, 1, or 2; and

k is 0, 1, or 2.

23. The compound of claim 1 having the formula IX



IX

25

or a pharmaceutically acceptable salt thereof,
wherein

X = NH

Y = CO, CS, -C(=N-CN) or

X and Y together form an alkene, or C₃-C₅ cycloalkyl;

R₁ is -HET¹, -CO-HET¹, or -NH-S(O)₂-Q¹, the HET¹ being an optionally substituted HET¹;

5 Q₁ is selected from the group consisting of H, optionally substituted alkyl, or optionally substituted aryl;

R₂ is an electron withdrawing group;

R₅ is -(CH₂)_k-S(O)_i-R₇, -NH-SO₂-R₇, -(CH₂)_k-W-R₈, -NH-(CZ₁)-R₈, -NH-(CZ₁)-NR₈, substituted aryl, substituted C₁-alkyl, or substituted C₁-alkenyl;

10 R₆ is selected from H, halo, HET², -CN, NH₂, NO₂, alkyl, substituted alkyl, alkoxy, substituted alkoxy, -NH-CO-HET², and -NH-CO-aryl;

R₇ is selected from alkyl, substituted alkyl, aryl, substituted aryl, -N(Q₁₅)₂, HET², and substituted HET²;

R₈ is H, alkyl, substituted alkyl, aryl, substituted aryl, HET², substituted HET², 15 cycloalkyl, substituted cycloalkyl;

Each Q₁₅ is independently H, alkyl, cycloalkyl, heterocycloalkyl, heteroaryl, phenyl, or naphthyl, each optionally substituted with 1-4 substituents independently selected from -F, -Cl, -Br, -I, -OQ₁₆, -SQ₁₆, -S(O)Q₁₆, -S(O)Q₁₆, -OS(O)Q₁₆, -C(=NQ₁₆)Q₁₆, -S(O)₂-N=S(O)(Q₁₆)₂, -S(O)₂-N=S(Q₁₆)₂, -SC(O)Q₁₆, -NQ₁₆Q₁₆, -

20 C(O)Q₁₆, -C(S)Q₁₆, -C(O)OQ₁₆, -OC(O)Q₁₆, -C(O)NQ₁₆Q₁₆, -C(S)NQ₁₆Q₁₆, -C(O)C(Q₁₆)₂OC(O)Q₁₆, -CN, -NQ₁₆C(O)Q₁₆, -NQ₁₆C(S)Q₁₆, -NQ₁₆C(O)NQ₁₆Q₁₆, -NQ₁₆C(S)NQ₁₆Q₁₆, -S(O)₂NQ₁₆Q₁₆, -NQ₁₆S(O)Q₁₆, -NQ₁₆S(O)Q₁₆, -NQ₁₆SQ₁₆, -NO₂, and -SNQ₁₆Q₁₆. The alkyl, cycloalkyl, and cycloalkenyl being further optionally substituted with =O or =S;

25 Each Q₁₆ is independently selected from -H, alkyl, and cycloalkyl. The alkyl and cycloalkyl optionally including 1-3 halos;

W is O, S, -(CZ₂)-, or -(CHZ₃)-;

Z₁ is O;

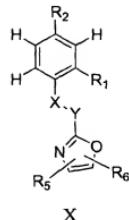
Z₂ is =O, =S, =N-OH, =N-O-alkyl, or =N-O-substituted alkyl;

30 Z₃ is -OH, -N=NH, -N=N-alkyl, -NH-alkyl, or -NH-substituted alkyl;

i is 0, 1, or 2; and

k is 0, 1, or 2.

24. The compound of claim 1 having the formula X



5 or a pharmaceutically acceptable salt thereof,

wherein

$X = \text{NH}$

$Y = \text{CO, CS, -C(=N-CN)}$ or

X and Y together form an alkene, or $C_3\text{-}C_5$ cycloalkyl;

10 R_1 is $-\text{HET}^1$, $-\text{CO-HET}^1$, or $-\text{NH-S(O)}_2\text{Q}^1$, the HET^1 being an optionally substituted HET^1 ;

Q_1 is selected from the group consisting of H, optionally substituted alkyl, or optionally substituted aryl;

R_2 is an electron withdrawing group;

15 R_5 is $-(\text{CH}_2)_k\text{-S(O)}_l\text{-R}_7$, $-\text{NH-SO}_2\text{-R}_7$, $-(\text{CH}_2)_k\text{-W-R}_8$, $-\text{NH-(CZ}_1\text{-R}_8$, $-\text{NH-(CZ}_1\text{-NR}_8$, substituted aryl, substituted $C_{1\text{-}4}$ alkyl, or substituted $C_{1\text{-}4}$ alkenyl;

R_6 is selected from H, halo, $-\text{CN}$, NH_2 , NO_2 , alkyl;

R_7 is selected from alkyl, substituted alkyl, aryl, substituted aryl, $-\text{N(Q}_{15}\text{)}_2$, HET^2 , and substituted HET^2 ;

20 R_8 is H, alkyl, substituted alkyl, aryl, substituted aryl, HET^2 , substituted HET^2 , cycloalkyl, substituted cycloalkyl;

Each Q_{15} is independently H, alkyl, cycloalkyl, heterocycloalkyl, heteroaryl, phenyl, or naphthyl, each optionally substituted with 1-4 substituents independently selected from -F, -Cl, -Br, -I, $-\text{OQ}_{16}$, $-\text{SQ}_{16}$, $-\text{S(O)}_2\text{Q}_{16}$, $-\text{S(O)Q}_{16}$, $-\text{OS(O)}_2\text{Q}_{16}$, -

25 $\text{C}(\text{=NQ}_{16})\text{Q}_{16}$, $-\text{S(O)}_2\text{-N=S(O)(Q}_{16}\text{)}_2$, $-\text{S(O)}_2\text{-N=S(Q}_{16}\text{)}_2$, $-\text{SC(O)Q}_{16}$, $-\text{NQ}_{16}\text{Q}_{16}$, -

C(O)Q_{16} , $-\text{C(S)Q}_{16}$, $-\text{C(O)OQ}_{16}$, $-\text{OC(O)Q}_{16}$, $-\text{C(O)NQ}_{16}\text{Q}_{16}$, $-\text{C(S)NQ}_{16}\text{Q}_{16}$, -

$\text{C(O)C(Q}_{16}\text{)}_2\text{OC(O)Q}_{16}$, $-\text{CN}$, $-\text{NQ}_{16}\text{C(O)Q}_{16}$, $-\text{NQ}_{16}\text{C(S)Q}_{16}$, $-\text{NQ}_{16}\text{C(O)NQ}_{16}\text{Q}_{16}$,

$-\text{NQ}_{16}\text{C(S)NQ}_{16}\text{Q}_{16}$, $-\text{S(O)}_2\text{NQ}_{16}\text{Q}_{16}$, $-\text{NQ}_{16}\text{S(O)}_2\text{Q}_{16}$, $-\text{NQ}_{16}\text{S(O)Q}_{16}$, $-\text{NQ}_{16}\text{SQ}_{16}$, -

NO_2 , and $-\text{SNQ}_{16}\text{Q}_{16}$. The alkyl, cycloalkyl, and cycloalkenyl being further optionally substituted with $=\text{O}$ or $=\text{S}$;

Each Q_{16} is independently selected from -H, alkyl, and cycloalkyl. The alkyl and cycloalkyl optionally including 1-3 halos;

5 W is O, S, $-(\text{CZ}_2)$, or $-(\text{CHZ}_3)$;

Z_1 is O;

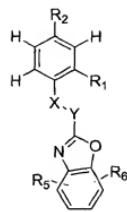
Z_2 is $=\text{O}$, $=\text{S}$, $=\text{N-OH}$, $=\text{N-O-alkyl}$, or $=\text{N-O-substituted alkyl}$;

Z_3 is $-\text{OH}$, $-\text{N}=\text{NH}$, $-\text{N}=\text{N-alkyl}$, $-\text{NH-alkyl}$, or $-\text{NH-substituted alkyl}$;

i is 0, 1, or 2; and

10 k is 0, 1, or 2.

25. The compound of claim 1 having the formula XI



XI

15

or a pharmaceutically acceptable salt thereof,

wherein

X = NH

Y = CO, CS, $-\text{C}(=\text{N-CN})$ or

20 X and Y together form an alkene, or $\text{C}_3\text{-C}_5$ cycloalkyl;

R_1 is $-\text{HET}^1$, $-\text{CO-HET}^1$, or $-\text{NH-S(O)}_2\text{-Q}^1$, the HET¹ being an optionally substituted HET¹;

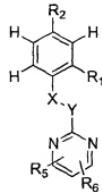
Q_1 is selected from the group consisting of H, optionally substituted alkyl, or optionally substituted aryl;

25 R_2 is an electron withdrawing group;

R_5 is $-(\text{CH}_2)_k\text{-S(O)}_i\text{-R}_7$, $-\text{NH-SO}_2\text{-R}_7$, $-(\text{CH}_2)_k\text{-W-R}_8$, $-\text{NH-(CZ}_1)\text{-R}_8$, $-\text{NH-(CZ}_1)\text{-NR}_8$, substituted aryl, substituted C_{1-4} alkyl, or substituted C_{1-4} alkenyl;

- R₆ is selected from H, halo, HET², -CN, NH₂, NO₂, alkyl, substituted alkyl, alkoxy, substituted alkoxy, -NH-CO-HET², and -NH-CO-aryl;
- R₇ is selected from alkyl, substituted alkyl, aryl, substituted aryl, -N(Q₁₅)₂, HET², and substituted HET²;
- 5 R₈ is H, alkyl, substituted alkyl, aryl, substituted aryl, HET², substituted HET², cycloalkyl, substituted cycloalkyl;
- Each Q₁₅ is independently H, alkyl, cycloalkyl, heterocycloalkyl, heteroaryl, phenyl, or naphthyl, each optionally substituted with 1-4 substituents independently selected from -F, -Cl, -Br, -I, -OQ₁₆, -SQ₁₆, -S(O)₂Q₁₆, -S(O)Q₁₆, -OS(O)₂Q₁₆, -
- 10 C(=NQ₁₆)Q₁₆, -S(O)₂-N=S(O)(Q₁₆)₂, -S(O)₂-N=S(Q₁₆)₂, -SC(O)Q₁₆, -NQ₁₆Q₁₆, -C(O)Q₁₆, -C(S)Q₁₆, -C(O)OQ₁₆, -OC(O)Q₁₆, -C(O)NQ₁₆Q₁₆, -C(S)NQ₁₆Q₁₆, -
- C(O)C(Q₁₆)₂OC(O)Q₁₆, -CN, -NQ₁₆C(O)Q₁₆, -NQ₁₆C(S)Q₁₆, -NQ₁₆C(O)NQ₁₆Q₁₆, -NQ₁₆C(S)NQ₁₆Q₁₆, -S(O)₂NQ₁₆Q₁₆, -NQ₁₆S(O)₂Q₁₆, -NQ₁₆S(O)Q₁₆, -NQ₁₆SQ₁₆, -NO₂, and -SNQ₁₆Q₁₆. The alkyl, cycloalkyl, and cycloalkenyl being further optionally substituted with =O or =S;
- 15 Each Q₁₆ is independently selected from -H, alkyl, and cycloalkyl. The alkyl and cycloalkyl optionally including 1-3 halos;
- W is O, S, -(CZ₂)-, or -(CHZ₃)-;
- Z₁ is O;
- 20 Z₂ is =O, =S, =N-OH, =N-O-alkyl, or =N-O-substituted alkyl;
- Z₃ is -OH, -N=NH, -N=N-alkyl, -NH-alkyl, or -NH-substituted alkyl;
- i is 0, 1, or 2; and
- k is 0, 1, or 2.

25 26. The compound of claim 1 having the formula XII



XII

or a pharmaceutically acceptable salt thereof,

wherein

X = NH

Y = CO, CS, -C(=N-CN) or

5 X and Y together form an alkene, or C₃-C₅ cycloalkyl;

R₁ is -HET¹, -CO-HET¹, or -NH-S(O)₂-Q¹, the HET¹ being an optionally substituted HET¹;

Q₁ is selected from the group consisting of H, optionally substituted alkyl, or optionally substituted aryl;

10 R₂ is an electron withdrawing group;

R₃ is -(CH₂)_k-S(O)_j-R₇, -NH-SO₂-R₇, -(CH₂)_k-W-R₈, -NH-(CZ₁)-R₈, -NH-(CZ₁)-NR₈, substituted aryl, substituted C₁-alkyl, or substituted C₁-alkenyl;

R₆ is selected from H, halo, HET², -CN, NH₂, NO₂, alkyl, substituted alkyl, alkoxy, substituted alkoxy, -NH-CO-HET², and -NH-CO-aryl;

15 R₇ is selected from alkyl, substituted alkyl, aryl, substituted aryl, -N(Q₁₅)₂, HET², and substituted HET²;

R₈ is H, alkyl, substituted alkyl, aryl, substituted aryl, HET², substituted HET², cycloalkyl, substituted cycloalkyl;

Each Q₁₅ is independently H, alkyl, cycloalkyl, heterocycloalkyl, heteroaryl,

20 phenyl, or naphthyl, each optionally substituted with 1-4 substituents independently selected from -F, -Cl, -Br, -I, -OQ₁₆, -SQ₁₆, -S(O)Q₁₆, -S(O)Q₁₆, -OS(O)Q₁₆, -C(=NQ₁₆)Q₁₆, -S(O)Q₁₆=S(O)(Q₁₆)₂, -S(O)₂=S(Q₁₆)₂, -SC(O)Q₁₆, -NQ₁₆Q₁₆, -C(O)Q₁₆, -C(S)Q₁₆, -C(O)OQ₁₆, -OC(O)Q₁₆, -C(O)NQ₁₆Q₁₆, -C(S)NQ₁₆Q₁₆, -C(O)C(Q₁₆)₂OC(O)Q₁₆, -CN, -NQ₁₆C(O)Q₁₆, -NQ₁₆C(S)Q₁₆, -NQ₁₆C(O)NQ₁₆Q₁₆,

25 -NQ₁₆C(S)NQ₁₆Q₁₆, -S(O)Q₁₆Q₁₆, -NQ₁₆S(O)Q₁₆, -NQ₁₆S(O)Q₁₆, -NQ₁₆Q₁₆, -NO₂, and -SNQ₁₆Q₁₆. The alkyl, cycloalkyl, and cycloalkenyl being further optionally substituted with =O or =S;

Each Q₁₆ is independently selected from -H, alkyl, and cycloalkyl. The alkyl and cycloalkyl optionally including 1-3 halos;

30 W is O, S, -(CZ₂)-, or -(CHZ₃)-;

Z₁ is O;

Z₂ is =O, =S, =N-OH, =N-O-alkyl, or =N-O-substituted alkyl;

Z₃ is -OH, -N=NH, -N=N-alkyl, -NH-alkyl, or -NH-substituted alkyl;

i is 0, 1, or 2; and

k is 0, 1, or 2.

27. The compound of claim 1, wherein Y is -CO-.

5

28. The compound of claim 1, wherein Y is -CS-.

29. The compound of claim 1, wherein X-Y is -C=C-.

- 10 30. The compound of claim 1, wherein is cyclopropyl.

31. The compound of claim 1, wherein R₂ is halo, -CN, -NO₂, HET², substituted

HET², aryl, substituted aryl, -(CO)-alkyl, -(CO)-substituted alkyl, -(CO)-aryl, -(CO)-substituted aryl, -(CO)-O-alkyl, -(CO)-O-substituted alkyl, -(CO)-O-aryl, -(CO)-O-

- 15 substituted aryl, -OC(Z_n)₃, -C(Z_n)₃, -C(Z_n)₂-O-C(Z_m)₃, -SO₂-C(Z_n)₃, -SO₂-aryl, -CN(Q₁₇)₂, -C(NQ₁₇)Q₁₇, -CH=C(Q₁₇)₂, or -C≡C-Q₁₇, in which each Zn and Zm is independently H, halo, -CN, -NO₂ -OH, or C₁₋₄alkyl optionally substituted with 1-3 halo, -OH, NO₂, provided that at least one of Zn is halo, -CN, or NO₂.

- 20 32. The compound of claim 31, wherein R₂ is Br, Cl, F, I, -CN, formyl, acetyl, methoxyimino, hydroxyimino, -CH₂-halo, CH₂-CN, phenyl, thienyl, pyrazinyl, 1-methyl-1H-pyrrrol-2-yl, pyridin-2-yl, chlorophenyl, nitrophenyl, cyanophenyl, chlorothienyl, methylthienyl, fluorophenyl, (trifluoromethyl)phenyl, di(trifluoromethyl)phenyl, difluorophenyl, dimethylisoxazolyl, dimethoxypyrimidinyl.

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33. The compound of claim 1, wherein R₅ is -NH₂, -SO₂-NH-alkyl, -SO₂-NH-substituted alkyl, -SO₂-NH-aryl, -NH-SO₂-aryl, -SO₂-NH-substituted aryl, -NH-SO₂-substituted aryl, -SO₂-NH-HET², -SO₂-NH-substituted HET², -SO₂-

N(alkyl)(substituted alkyl), -SO₂-N(alkyl)(aryl), -SO₂-N(alkyl)(substituted aryl), -SO₂-

- 30 N(alkyl)(HET²), -SO₂-N(alkyl)(substituted HET²), -S-alkyl, -S-substituted alkyl, -O-alkyl, -O-aryl, -S-substituted alkyl, -CH₂-S-alkyl, -CH₂-S-substituted alkyl, -(CH₂)₂-S-alkyl, -(CH₂)₂-S-substituted alkyl, -C(O)-aryl, -C(O)H, -C(OH)-aryl, -C(N-OCH₃)-aryl, -C(N-OH)-aryl, -C(O)-C₁₋₆cycloalkyl, -NH-C(O)-O-C₁₋₄alkyl, -NH-C(O)-aryl, -

NH-C(O)-substituted aryl, -NH-C(O)-HET², -NH-C(O)-substituted HET² -
NHC(O)NH-aryl, -NHC(O)NH-substituted aryl, -NHC(O)NH-HET², -NHC(O)NH-
substituted HET².

- 5 34. The compound of claim 33, wherein R₅ is (diethylamino)sulfonyl, (1H-indol-5-yl)aminosulfonyl, (furylmethylamino)sulfonyl, (ethoxycarbonyl)-1-piperazinylsulfonyl, pyridinylethylaminosulfonyl, (benzylamino)sulfonyl, (2-hydroxy-1-methylethyl)aminosulfonyl, (4-carboxyanilino)sulfonyl, (3,4-dihydro-1(2H)-quinolinyl)sulfonyl, [2-(3,5-dimethoxyphenyl)ethyl]aminosulfonyl, [(3S)-3-hydroxypyrrolidinyl]sulfonyl, (ethylanilino)sulfonyl, (3,5-dimethoxyanilino)sulfonyl, (2-hydroxy-2-phenylethyl)(methyl)amino]sulfonyl, (2,3-dihydro-1H-indol-1-yl)sulfonyl, (5-methoxy-2,3-dihydro-1H-indol-1-yl)sulfonyl, (5-fluoro-2,3-dihydro-1H-indol-1-yl)sulfonyl, (1H-benzimidazol-1-yl)sulfonyl, (5-fluoro-1H-indol-1-yl)sulfonyl, (1H-indol-1-yl)sulfonyl, (6-fluoro-1H-indol-1-yl)sulfonyl, (5-chloro-1H-indol-1-yl)sulfonyl, (6-chloro-1H-indol-1-yl)sulfonyl, (6-chloro-5-fluoro-1H-indol-1-yl)sulfonyl, (1H-pyrrol-1-yl)sulfonyl, (5-methoxy-1H-indol-1-yl)sulfonyl, (1H-pyrollo[2,3-b]pyridin-1-yl)sulfonyl, (5-bromo-2,3-dihydro-1H-indol-1-yl)sulfonyl, (3,3-dimethyl-2,3-dihydro-1H-indol-1-yl)sulfonyl, (4-chlorophenyl)(methyl)amino]sulfonyl, benzylthio, methyl(pyridin-2-yl)amino]sulfonyl, (1H-indol-1-yl)sulfonyl, (pyrrolidin-1-yl)sulfonyl, (2-methylpyrrolidin-1-yl)sulfonyl, (morpholin-4-yl)sulfonyl, (piperidin-1-yl)sulfonyl, (methoxy-1H-indol-1-yl)sulfonyl, {methyl![(1R)-1-phenylethyl]amino}sulfonyl, {methyl![(1S)-1-phenylethyl]amino}sulfonyl, [(2-aminophenyl)(methyl)amino]sulfonyl, (dipropylamino)sulfonyl, benzylsulfanyl, (dipropylamino)sulfanyl, (dipropylamino)sulfinyl, [4-chloro(methyl)anilino]sulfonyl, (phenylthio)methyl, benzyloxy, 3-(ethylthio), (pyridin-4-ylmethyl)thio, phenoxy, phenylthio, (pyridin-4-ylmethyl)thio, benzylthio, (1-phenylethyl)thio, cyclopentylthio, cyclopentylsulfinyl, benzoyl, hydroxy(phenyl)methyl, (methoxyimino)(phenyl)methyl, (hydroxyimino)(phenyl)methyl, cyclopentylcarbonyl, benzoylamino, furoylamino, (thien-2-ylacetyl)amino, (mesitylcarbonyl)amino, (1,3-benzodioxol-5-ylcarbonyl)amino, 3-(2,4-dimethoxybenzoyl)amino, (phenylthio)acetylamino, (anilinocarbonyl)amino, (2,4-difluorophenyl)amino carbonylamino, (3-cyanophenyl)aminocarbonylamino, (3-acetylphenyl)aminocarbonylamino, -

- (trifluoromethoxy)phenylsulfonylamino, (thien-2-ylacetyl)amino, (5-nitro-2-furoyl)amino, (5-chloro-2-methoxyphenyl)aminocarbonylamino, (4-phenoxyphenyl)aminocarbonylamino, (4-acetylphenyl)aminocarbonylamino, phenylethynyl, 2-phenylethyl, 4-Chlorophenyl, benzyloxy, phenoxy, alkylthio, phenyl, dihalophenyl, amino, acetylarnino, benzoylamino, phenylacetylarnino, methylsulfonylamino, phenylsulfonylamino, benzylsulfonylamino, benzyloxy, hydroxy, 3-phenoxypropoxy, (2,3-dihydro-1,4-benzodioxin-2-yl)methoxy, cyclobutylmethoxy, (2,2-dimethyl-1,3-dioxolan-4-yl)methoxy, 2,3-dihydroxypropoxy, cyclobutoxy, 2-methoxy-1-methyletheroxy, isopropoxy, cyclopropylmethoxy, cyclohexylmethoxy, 2-methoxyethoxy, tetrahydro-2H-pyran-2-ylmethoxy, (oxiran-2-yl)methoxy, 2-hydroxy-3-isopropoxypropoxy, furylmethoxy, pentyloxy, phenylacetylarnino, Benzoylamino, Acetoxyacetylarnino, cyclopentylcarbonylamino, 6-Chloropyridin-3-ylcarbonylamino, isoxazol-5-ylcarbonylamino, 2,4-difluorobenzoylamino, fluoroacetylarnino, Acetylarnino, 4-Chlorophenylacetylarnino, 4-methoxyphenylacetylarnino, cyclopentylacetylarnino, 3-fluorobenzoylamino, 3-cyanophenylacetylarnino, cyclohexylcarbonylamino, propionylarnino, 5-methoxy-5-oxopentanoylamino, Butyrylarnino, 4-Bromobenzoylamino, 3-phenylpropanoylamino, phenoxyacetylarnino, 3-cyclopentylpropanoylamino, 3-methoxy-3-oxopropanoylamino, 2-ethylhexanoylamino, 3,4-dimethoxyphenylacetylarnino, 3,5,5-trimethylhexanoylamino, cyclopropylcarbonylamino, methoxyacetylarnino, 3-methylbutanoylamino, pentanoylamino, 4,7,7-trimethyl-3-oxo-2-oxabicyclo[2.2.1]hept-1-ylcarbonylamino, Chloro(phenyl)acetylarnino, Benzyloxyacetylarnino, 3-ethoxy-3-oxopropanoylamino, 1-Adamantylcarbonylamino, hexanoylamino, 2-phenylcyclopranoylamino, 2-phenylbutanoylamino, heptanoylamino, Acetoxyphenylacetylarnino, thien-2-ylcarbonylamino, 2-methylbutanoylamino, 8-methoxy-8-oxooctanoylamino, 2-ethylbutanoylamino, octanoylamino, cyclobutylcarbonylamino, 1,3-dioxo-1,3-dihydro-2H-isoindol-2-yl, Benzylythio, morpholin-4-ylsulfonylbenzoylamino, 1H-indol-2-ylcarbonylamino, 1-methyl-1H-indol-2-ylcarbonylamino, 5-phenylisoxazol-3-ylcarbonylamino, 5-phenylpentanoylamino, 4-phenylbutanoylamino, 4-(4-methoxyphenyl)butanoylamino, 2-Chlorophenylacetylarnino, 2,4-dichlorophenylacetylarnino, 3,4-dichlorophenylacetylarnino, 3-Chlorophenylacetylarnino, 3-(trifluoromethyl)phenylacetylarnino, 3-methylphenylacetylarnino, 4-tert-

Butylphenylacetyl amino, 3-methoxyphenylacetyl amino, 2-methoxyphenylacetyl amino, 2-methylphenylacetyl amino, 4-(trifluoromethyl)phenylacetyl amino, 4-isopropylphenylacetyl amino, 4-methylphenylacetyl amino, 4-fluorophenylacetyl amino, 2-(trifluoromethyl)phenylacetyl amino, 3-fluorophenylacetyl amino, phenylthioacetyl amino, naphthylacetyl amino, naphthyoxyacetyl amino, 2-propoxybenzoyl amino, tetrahydrofuran-3-ylcarbonyl amino, 1-methylcyclopropylcarbonyl amino, 4-ethoxyphenylacetyl amino, 1-Benzothien-3-ylacetyl amino, 1,1'-Biphenyl-4-ylcarbonyl amino, 4-Butoxybenzoyl amino, 2-(2-phenylethyl)benzoyl amino, 1,1'-Biphenyl-2-ylcarbonyl amino, 4-(ethylthio)benzoyl amino, 2-(methylsulfonyl)benzoyl amino, 2,6-dichlorophenylacetyl amino, 1,1'-Biphenyl-4-ylacetyl amino, 1,3-Benzodioxol-5-ylacetyl amino, 3,3-dimethylbutanoyl amino, thien-2-ylacetyl amino, 3-methyl-5-phenylisoxazol-4-ylcarbonyl amino, [2-(2-methoxyethoxyethoxy]acetyl amino, (2-hydroxybenzoyl)amino, prolyl amino, (3-methylisoxazol-5-yl)acetyl amino, 4-Azido-3-iodobenzoyl amino, (diethylamino)sulfonyl, (1H-indol-5-yl)aminosulfonyl, (furylmethylamino)sulfonyl, (ethoxycarbonyl)-1-piperazinylsulfonyl, pyridinylethylaminosulfonyl, (benzylamino)sulfonyl, (2-hydroxy-1-methylethyl)aminosulfonyl, (4-carboxyanilino)sulfonyl, (3,4-dihydro-1(2H)-quinolinyl)sulfonyl, [2-(3,5-dimethoxyphenyl)ethyl]aminosulfonyl, [(3S)-3-hydroxypyrrolidinyl]sulfonyl, (ethylanilino)sulfonyl, (3,5-dimethoxyanilino)sulfonyl, (2-hydroxy-2-phenylethyl)(methyl)amino)sulfonyl, (2,3-dihydro-1H-indol-1-yl)sulfonyl, (5-methoxy-2,3-dihydro-1H-indol-1-yl)sulfonyl, (5-fluoro-2,3-dihydro-1H-indol-1-yl)sulfonyl, (1H-benzimidazol-1-yl)sulfonyl, (5-fluoro-1H-indol-1-yl)sulfonyl, (1H-indol-1-yl)sulfonyl, (6-fluoro-1H-indol-1-yl)sulfonyl, (5-chloro-1H-indol-1-yl)sulfonyl, (6-chloro-1H-indol-1-yl)sulfonyl, (6-chloro-5-fluoro-1H-indol-1-yl)sulfonyl, (1H-pyrrol-1-yl)sulfonyl, (5-methoxy-1H-indol-1-yl)sulfonyl, (1H-pyrrolo[2,3-b]pyridin-1-yl)sulfonyl, (5-bromo-2,3-dihydro-1H-indol-1-yl)sulfonyl, (3,3-dimethyl-2,3-dihydro-1H-indol-1-yl)sulfonyl, (4-chlorophenyl)(methyl)amino)sulfonyl, benzylthio, methyl(pyridin-2-yl)amino)sulfonyl, (1H-indol-1-yl)sulfonyl, (pyrrolidin-1-yl)sulfonyl, (2-methylpyrrolidin-1-yl)sulfonyl, (morpholin-4-yl)sulfonyl, (piperidin-1-yl)sulfonyl, (methoxy-1H-indol-1-yl)sulfonyl, {methyl[(1R)-1-phenylethyl]amino}sulfonyl,

- {methyl[[(1S)-1-phenylethyl]amino}sulfonyl, [(2-aminophenyl)(methyl)amino]sulfonyl, (dipropylamino)sulfonyl, benzylsulfanyl, (dipropylamino)sulfanyl, (dipropylamino)sulfinyl, [4-chloro(methyl)anilino]sulfonyl, (phenylthio)methyl, benzyloxy, 3-(ethylthio), (pyridin-4-ylmethyl)thio, phenoxy,
- 5 phenylthio, (pyridin-4-ylmethyl)thio, benzylthio, (1-phenylethyl)thio, cyclopentylthio, cyclopentylsulfinyl, benzoyl, hydroxy(phenyl)methyl, (methoxyimino)(phenyl)methyl, (hydroxyimino)(phenyl)methyl, cyclopentylcarbonyl, benzylamino, furoylamino, (thien-2-ylacetyl)amino, (mesitylcarbonyl)amino, (1,3-benzodioxol-5-ylcarbonyl)amino, 3-(2,4-dimethoxybenzoyl)amino, (phenylthio)acetylamino, 10 (anilinocarbonyl)amino, (2,4-difluorophenyl)amino carbonylamino, (3-cyanophenyl)aminocarbonylamino, (3-acetylphenyl)aminocarbonylamino, -(trifluoromethoxy)phenylsulfonylamino, (thien-2-ylacetyl)amino, (5-nitro-2-furoyl)amino, (5-chloro-2-methoxyphenyl)aminocarbonylamino, (4-phenoxyphenyl)aminocarbonylamino, (4-acetylphenyl)aminocarbonylamino, 15 phenylethynyl, 2-phenylethyl, 4-Chlorophenyl, benzyloxy, phenoxy, alkylthio, phenyl, dihalophenyl, amino, acetylamino, benzylamino, phenylacetylamino, methylsulfonylamino, phenylsulfonylamino, and benzylsulfonylamino.

35. The compound of claim 1, wherein R₆, is H, halo, -CN, NH₂, NO₂, methyl, 20 methoxy, -(CH₂)₂-OH, morpholinyl, and -(CH₂)₂-O-CO-CH₃.

36. The compound of claim 1, wherein R₁ is 5-oxo-4,5-dihydro-1,2,4-oxadiazol-3-yl, 5-oxo-4,5-dihydro-1,3,4-oxadiazol-2-yl, methylsulfonylaminocarbonyl, 4-methylphenylsulfonylaminocarbonyl, 1H-tetraazol-5-yl, hydrazinocarbonylphenyl, 25 5-thioxo-4,5-dihydro-1,3,4-oxadiazol-2-yl, 1,1-dioxido-2H-1,2,4-benzothiadiazin-3-yl, 4-oxo-3,4-dihydroquinazolin-2-yl, amino(hydroxyimino)methyl, 2H-tetraazol-2-yl-methyl pivalate.

37. A method for sanitizing or disinfecting comprising administering an effective 30 amount of the antibacterial compound of claim 1.